FILE 'REGISTRY' ENTERED AT 10:27:12 ON 01 SEP 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 31 AUG 2009 HIGHEST RN 1178609-15-8
DICTIONARY FILE UPDATES: 31 AUG 2009 HIGHEST RN 1178609-15-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

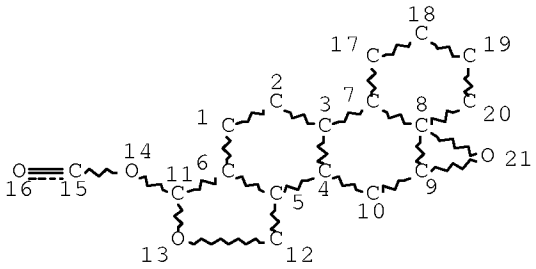
TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

L1 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE
L2 6 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 623 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 10:27:12 ON 01 SEP 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Copyright of the articles to which records in this database refer is

held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 1 Sep 2009 VOL 151 ISS 10
FILE LAST UPDATED: 31 Aug 2009 (20090831/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

L3 7 L2

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:677604 CAPLUS Full-text
DOCUMENT NUMBER: 145:117447
TITLE: Use of polycystin-2 (PKD2) agonists for the treatment of conditions caused by calcium abnormalities
INVENTOR(S): Crews, Craig M.; Quinn, Stephanie J.
PATENT ASSIGNEE(S): Yale University, USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006073572	A2	20060713	WO 2005-US41476	20051115
WO 2006073572	A3	20060831		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005323363	A1	20060713	AU 2005-323363	20051115
CA 2587263	A1	20060713	CA 2005-2587263	20051115
EP 1814539	A2	20070808	EP 2005-856964	20051115
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008520582	T	20080619	JP 2007-541455	20051115
US 20080063601	A1	20080313	US 2007-716980	20070312
US 20080188449	A1	20080807	US 2007-667696	20071107
PRIORITY APPLN. INFO.:			US 2004-627844P P	20041115
			US 2005-707014P P	20050809
			WO 2005-US41476 W	20051115
			WO 2006-US30671 A2	20060809

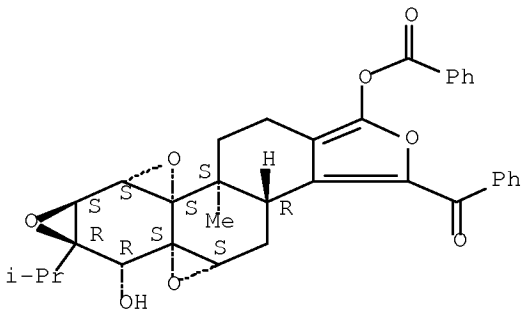
AB In certain aspects, the invention relates to use of PKD2 agonists, e.g. triptolide and triptolide derivs., to regulate calcium release. In other aspects, the invention relates to use of PKD2 agonists to treat or aid in the treatment of any condition in which a calcium channel, such as the gene product of PKD1 and/or PKD2, is mutated; calcium signaling is abnormal; or both.

IT 819083-53-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polycystin-2 agonists for treatment of conditions caused by calcium abnormalities)

RN 819083-53-9 CAPLUS

CN Methanone, [(3bR,4aS,5aS,6R,6aR,7aS,7bS,8aS,8bS)-1-(benzoyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-6-hydroxy-8b-methyl-6a-(1-methylethyl)trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-3-yl]phenyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:103747 CAPLUS Full-text
DOCUMENT NUMBER: 144:164242
TITLE: Method for treatment of inflammatory disorders

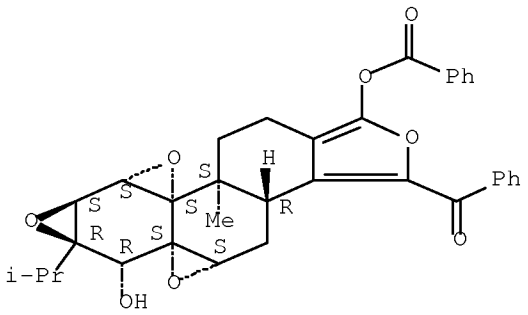
using triptolide compounds
INVENTOR(S): Fidler, John M.; Musser, John H.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006012204	A2	20060202	WO 2005-US22247	20050623
WO 2006012204	A3	20090409		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20070244080	A1	20071018	US 2007-629747	20070705
PRIORITY APPLN. INFO.:			US 2004-583295P P	20040625
			WO 2005-US22247 W	20050623

AB Inflammatory disorders, including obliterative airway disease, renal fibrosis, diabetic nephropathy, and liver fibrosis are treated with immunosuppressive triptolide compds., in particular triptolide compds. effective to inhibit TGF-β production in a patient afflicted with such a disorder. Preparation of triptolide derivs. is included.

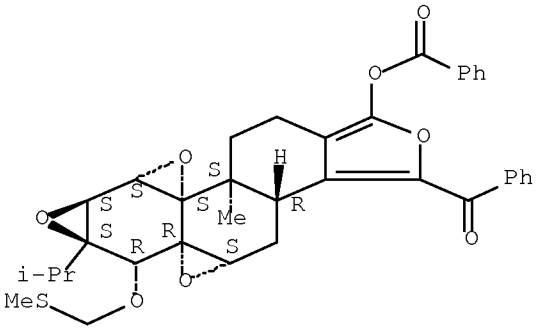
IT 819083-53-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(triptolide compds. for treatment of inflammatory disorders)
RN 819083-53-9 CAPLUS
CN Methanone, [(3bR,4aS,5aS,6R,6aR,7aS,7bS,8aS,8bS)-1-(benzoyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-6-hydroxy-8b-methyl-6a-(1-methylethyl)trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-3-yl]phenyl- (CA INDEX NAME)

Absolute stereochemistry.



IT 847440-52-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(triptolide compds. for treatment of inflammatory disorders)
RN 847440-52-2 CAPLUS
CN Methanone, [(3bR,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1-(benzoyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-6-[(methylthio)methoxy]trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-3-yl]phenyl- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1001864 CAPLUS Full-text
DOCUMENT NUMBER: 143:279364
TITLE: Triptolide lactone ring derivatives as immunomodulators and anticancer agents
INVENTOR(S): Yuan, Hongwei; Musser, John H.; Dai, Dongcheng
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005084365	A2	20050915	WO 2005-US6952	20050302
WO 2005084365	A3	20051110		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2005218610	A1	20050915	AU 2005-218610	20050302
CA 2557260	A1	20050915	CA 2005-2557260	20050302
EP 1732536	A2	20061220	EP 2005-724487	20050302
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1925852	A	20070307	CN 2005-80006875	20050302
JP 2007526331	T	20070913	JP 2007-501990	20050302
US 20080287530	A1	20081120	US 2008-591358	20080812
PRIORITY APPLN. INFO.:			US 2004-549769P	P 20040302
			WO 2005-US6952	W 20050302

OTHER SOURCE(S): MARPAT 143:279364

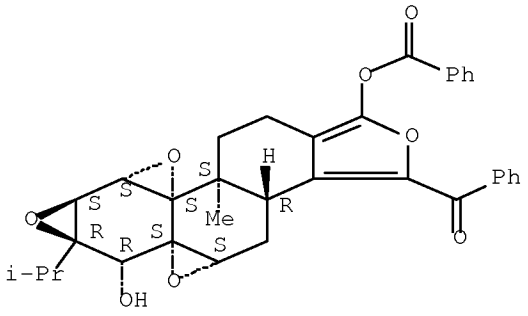
AB Disclosed are compds. based on lactone ring modifications of triptolide and hydroxylated triptolide, for use in therapy, such as antiproliferative, anticancer, and immunosuppressive therapy.

IT 819083-53-9P, PG 796
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(triptolide lactone ring derivs. as immunomodulators and anticancer agents)

RN 819083-53-9 CAPLUS

CN Methanone, [(3bR,4aS,5aS,6R,6aR,7aS,7bS,8aS,8bS)-1-(benzoyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-6-hydroxy-8b-methyl-6a-(1-methylethyl)trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-3-yl]phenyl- (CA INDEX NAME)

Absolute stereochemistry.

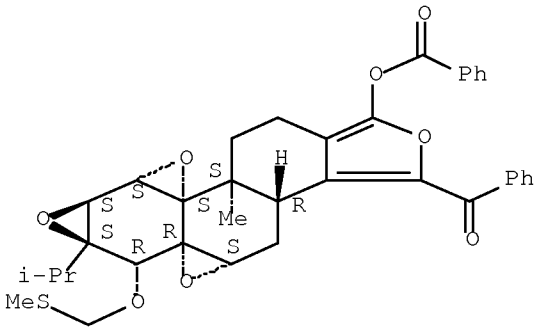


IT 847440-52-2P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(triptolide lactone ring derivs. as immunomodulators and anticancer agents)

RN 847440-52-2 CAPLUS

CN Methanone, [(3bR,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1-(benzoyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-6-[(methylthio)methoxy]trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-3-yl]phenyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:216599 CAPLUS Full-text
DOCUMENT NUMBER: 142:291368
TITLE: Method for treatment of severe acute respiratory syndrome (SARS) using triptolide compounds
INVENTOR(S): Fidler, John M.; Leu, Karen S.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020887	A2	20050310	WO 2004-US20447	20040625
WO 2005020887	A3	20050428		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-483335P P 20030627

AB The use of triptolide compds. for treatment of SARS infection is disclosed. The compds. are effective to inhibit cytokine production and thereby reduce

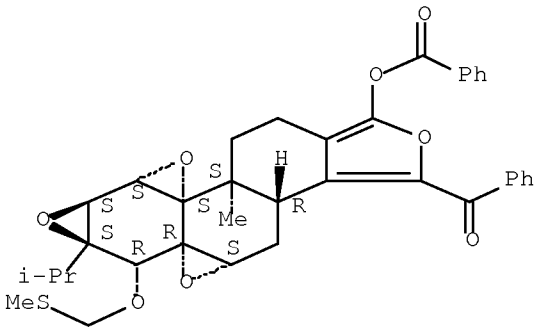
symptoms, particularly in the immune hyperactive phase of the disease. Triptolide suppressed production of proinflammatory cytokines such as interferon-γ, TNF-α, IL-1β, and IL-6 in activated human peripheral blood mononuclear cells. Triptolide derivs. and prodrugs were synthesized.

IT 847440-52-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(triptolide compds. for reducing cytokine production and treatment of immune hyperactive phase of severe acute respiratory syndrome)

RN 847440-52-2 CAPLUS

CN Methanone, [(3bR,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-1-(benzoyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)-6-[(methylthio)methoxy]trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-3-yl]phenyl- (CA INDEX NAME)

Absolute stereochemistry.

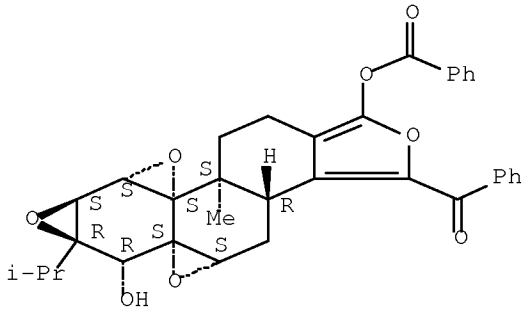


IT 819083-53-9P, PG 796
RL: SPN (Synthetic preparation); PREP (Preparation)
(triptolide compds. for reducing cytokine production and treatment of immune hyperactive phase of severe acute respiratory syndrome)

RN 819083-53-9 CAPLUS

CN Methanone, [(3bR,4aS,5aS,6R,6aR,7aS,7bS,8aS,8bS)-1-(benzoyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-6-hydroxy-8b-methyl-6a-(1-methylethyl)trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-3-yl]phenyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:14206 CAPLUS Full-text
DOCUMENT NUMBER: 142:86649
TITLE: Method for treatment of idiopathic pulmonary fibrosis using triptolide derivatives
INVENTOR(S): Fidler, John M.; Musser, John H.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005000291	A1	20050106	WO 2004-US20347	20040628
WO 2005000291	A8	20060119		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

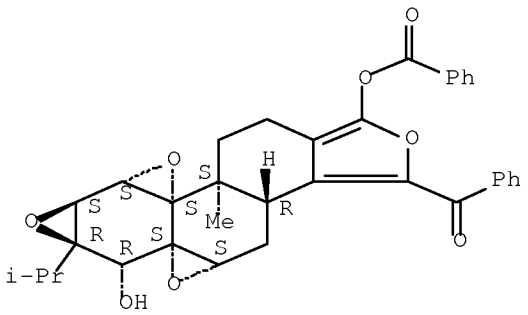
PRIORITY APPLN. INFO.: US 2003-483335P P 20030627

AB The invention relates to the use of immunosuppressive triptolide derivs. for the treatment of idiopathic pulmonary fibrosis (IPF).

IT 819083-53-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for treatment of idiopathic pulmonary fibrosis using triptolide derivs.)

RN 819083-53-9 CAPLUS
CN Methanone, [(3bR,4aS,5aS,6R,6aR,7aS,7bS,8aS,8bS)-1-(benzoyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-6-hydroxy-8b-methyl-6a-(1-methylethyl)trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-3-yl]phenyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

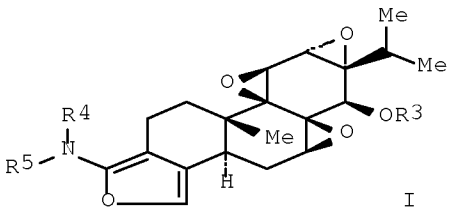
L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:695942 CAPLUS Full-text
DOCUMENT NUMBER: 137:232787
TITLE: Preparation of triptolide prodrugs having high aqueous solubility
INVENTOR(S): Dai, Dongcheng; Yuan, Hongwei; Musser, John H.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070472	A2	20020912	WO 2002-US6081	20020301
WO 2002070472	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6548537	B1	20030415	US 2001-798319	20010302
CA 2448775	A1	20020912	CA 2002-2448775	20020301
AU 2002258426	A1	20020919	AU 2002-258426	20020301
EP 1408957	A2	20040421	EP 2002-728370	20020301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

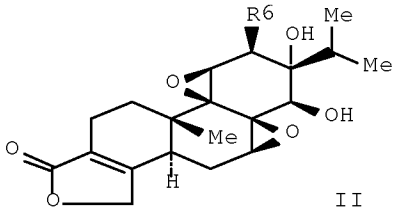
PRIORITY APPLN. INFO.:

US 2001-798319	A1	20010302
US 1998-98809P	P	19980902
WO 1999-US20150	A2	19990902
WO 2002-US6081	W	20020301

OTHER SOURCE(S): MARPAT 137:232787
GI



I



II

AB Triptolide prodrugs, such as I [R3 = H, acyl; R4, R5 = alkyl; NR4R5 = nitrogen bound heterocyclyl, such as 4-morpholinyl] and II [R6 = OCOCF3, OCOCCl3, OC(:NH)CCl3, arylsulfonyloxy, heteroarylsufonyloxy, etc.], were prepared for therapeutic use as immunosuppressive, anti-inflammatory and anticancer agents. These triptolide analogs have improved water solubility, generally lower toxicity and improved pharmacokinetics compared to the parent compound Thus, PG 700 II (R = OSO2C6H4-4-Me) was prepared by reaction of ClSO2C6H4-4-Me with the corresponding triol, PG 673 II (R = OH), using DMAP in pyridine. Pharmaceutical formulations and dosages of the prepared triptolide derivs. were presented.

IT 260246-82-0P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

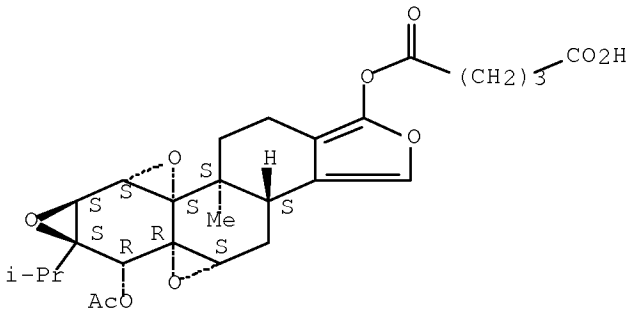
(preparation of triptolide prodrugs having high aqueous solubility for use as

immunosuppressive, anti-inflammatory and antitumor agents)

RN 260246-82-0 CAPLUS

CN Pentanedioic acid, 1-[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-6-(acetyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1-yl] ester (CA INDEX NAME)

Absolute stereochemistry.



IT 260246-83-1P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triptolide prodrugs having high aqueous solubility for use as

immunosuppressive, anti-inflammatory and antitumor agents)

RN 260246-83-1 CAPLUS

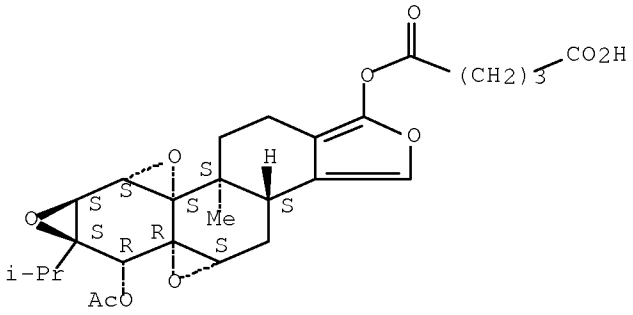
CN Pentanedioic acid, 1-[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-6-

(acetyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1-yl] ester, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (CA INDEX NAME)

CM 1

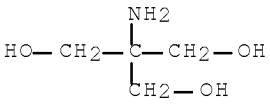
CRN 260246-82-0
CMF C27 H32 O10

Absolute stereochemistry.



CM 2

CRN 77-86-1
CMF C4 H11 N O3



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

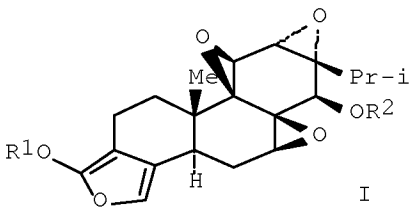
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:161261 CAPLUS Full-text
DOCUMENT NUMBER: 132:194527
TITLE: synthesis of triptolide prodrugs having high aqueous solubility for immunosuppressive and anti-inflammatory treatment
INVENTOR(S): Musser, John H.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012483	A1	20000309	WO 1999-US20150	19990902
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2342901	A1	20000309	CA 1999-2342901	19990902
AU 9962425	A	20000321	AU 1999-62425	19990902
AU 764123	B2	20030807		
US 6150539	A	20001121	US 1999-389769	19990902
EP 1109789	A1	20010627	EP 1999-949582	19990902
EP 1109789	B1	20030716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523495	T	20020730	JP 2000-567513	19990902
AT 245145	T	20030815	AT 1999-949582	19990902
EP 1375488	A1	20040102	EP 2003-16090	19990902
EP 1375488	B1	20060802		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 334969	T	20060815	AT 2003-16090	19990902
US 6548537	B1	20030415	US 2001-798319	20010302

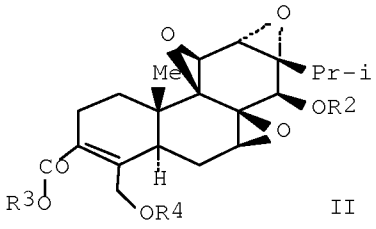
PRIORITY APPLN. INFO.:	US 1998-98809P	P	19980902
	EP 1999-949582	A3	19990902
	WO 1999-US20150	W	19990902

OTHER SOURCE(S): MARPAT 132:194527

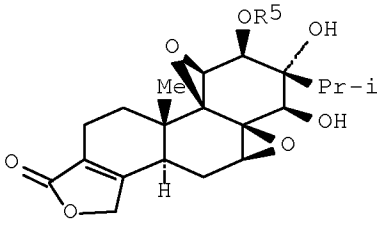
GI



I



II



III

AB Synthesis of triptolide prodrugs (I) (R1 = carboxylic ester, carbonate, inorg. ester; R2 = mono-, di-, trisaccharide, H, carboxylic ester), (II) (R3 = substituted ester, substituted carbonate; R4 = R2), (III) [R5 = (un)substituted alkyl sulfonate, aryl sulfonate, fluorosulfonate, alkyl phosphate, alkyl borate, trialkylammonium, dialkylsulfonium] useful in immunosuppressive and anti-inflammatory treatment are described. The hydrolyzable triptolide analogs have improved water solubility and generally lower toxicity than the parent compound and formulations (no data) are discussed.

IT 260246-83-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of triptolide prodrugs having high aqueous solubility for immunosuppressive and anti-inflammatory treatment)

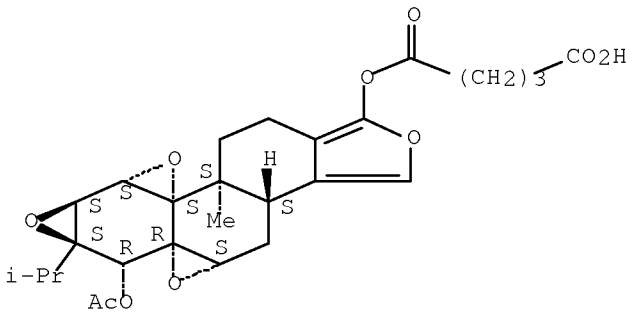
RN 260246-83-1 CAPLUS

CN Pentanedioic acid, 1-[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-6-(acetyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1-yl] ester, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (CA INDEX NAME)

CM 1

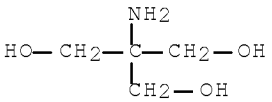
CRN 260246-82-0
CMF C27 H32 O10

Absolute stereochemistry.



CM 2

CRN 77-86-1
CMF C4 H11 N O3



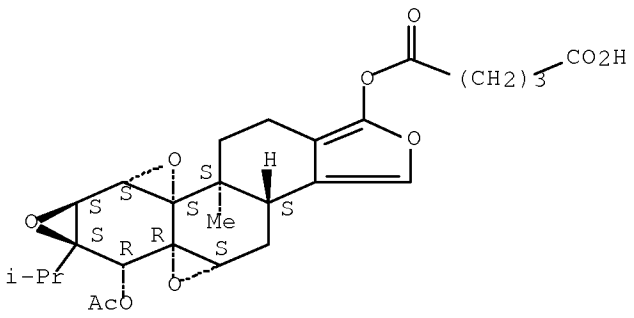
IT 260246-82-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of triptolide prodrugs having high aqueous solubility for immunosuppressive and anti-inflammatory treatment)

RN 260246-82-0 CAPLUS

CN Pentanedioic acid, 1-[(3bS,4aS,5aR,6R,6aS,7aS,7bS,8aS,8bS)-6-(acetyloxy)-3b,4,4a,6,6a,7a,7b,8b,9,10-decahydro-8b-methyl-6a-(1-methylethyl)trisoxireno[4b,5:6,7:8a,9]phenanthro[1,2-c]furan-1-yl] ester (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'MEDLINE' ENTERED AT 10:27:27 ON 01 SEP 2009

FILE 'BIOSIS' ENTERED AT 10:27:27 ON 01 SEP 2009

FILE 'EMBASE' ENTERED AT 10:27:27 ON 01 SEP 2009

L4 0 L2

FILE 'MARPAT' ENTERED AT 10:27:45 ON 01 SEP 2009

FILE CONTENT: 1961-PRESENT VOL 151 ISS 9 (20090828/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

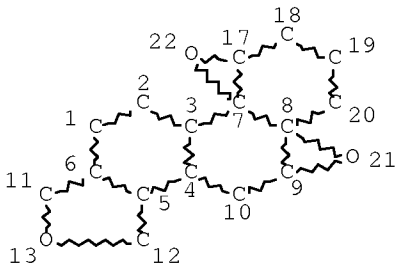
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090187037 23 JUL 2009
DE 102008054480 16 JUL 2009
EP 2080513 22 JUL 2009
JP 2009155247 16 JUL 2009
WO 2009090661 23 JUL 2009
GB 2453808 22 APR 2009

FR 2926078 10 JUL 2009
RU 2360905 10 JUL 2009
CA 2648836 04 JUL 2009

The new MARPAT User Guide is now available at:
http://www.cas.org/support/stngen/stndoc/marpat.html.

L5 STR



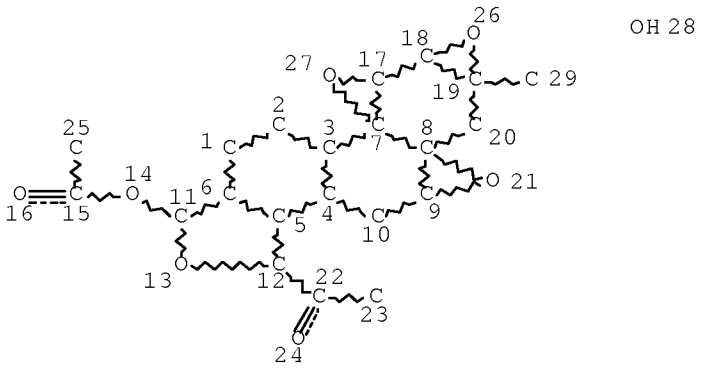
NODE ATTRIBUTES:
CONNECT IS M3 RC AT 11
CONNECT IS M3 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
MLEVEL IS CLASS ON RING NODES AND RING GROUPS
MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS
ECLEVEL IS UNLIM ON ALL NODES

L8 85898 SEA FILE=MARPAT SSS FUL L5 (MODIFIED ATTRIBUTES)
L10 STR



NODE ATTRIBUTES:
NSPEC IS RC AT 23
NSPEC IS RC AT 25
CONNECT IS X2 RC AT 10
DEFAULT MLEVEL IS ATOM

```
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  29

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS UNLIM ON ALL NODES

L11           1 SEA FILE=MARPAT SUB=L8 SSS FUL L10 (MODIFIED ATTRIBUTES)

100.0% PROCESSED      50 ITERATIONS                              1 ANSWERS
SEARCH TIME: 00.00.01

     FILE 'CAPLUS' ENTERED AT 10:46:40 ON 01 SEP 2009
L12           1 S L11
L13           0 S L12 NOT L3

FILE 'CAPLUS' ENTERED AT 10:47:08 ON 01 SEP 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MEDLINE' ENTERED AT 10:47:08 ON 01 SEP 2009

FILE 'BIOSIS' ENTERED AT 10:47:08 ON 01 SEP 2009
Copyright (c) 2009 The Thomson Corporation

FILE 'EMBASE' ENTERED AT 10:47:08 ON 01 SEP 2009
Copyright (c) 2009 Elsevier B.V. All rights reserved.

FILE 'WPIX' ENTERED AT 10:47:08 ON 01 SEP 2009
COPYRIGHT (C) 2009 THOMSON REUTERS

FILE 'JAPIO' ENTERED AT 10:47:08 ON 01 SEP 2009
COPYRIGHT (C) 2009 Japanese Patent Office (JPO)- JAPIO

FILE 'PASCAL' ENTERED AT 10:47:08 ON 01 SEP 2009
Any reproduction or dissemination in part or in full,
by means of any process and on any support whatsoever
is prohibited without the prior written agreement of INIST-CNRS.
COPYRIGHT (C) 2009 INIST-CNRS. All rights reserved.

FILE 'DISSABS' ENTERED AT 10:47:08 ON 01 SEP 2009
COPYRIGHT (C) 2009 ProQuest Information and Learning Company; All Rights Res
erved.

L14       10813 S ("YUAN H"? OR "HONGWEI Y"?)/AU
L15        2291 S "MUSSER J"?/AU
L16        3165 S ("DAI D"? OR "DONGCHENG D"?)/AU
L17           8 S L14 AND L15 AND L16
L18           8 S L14 AND (L15 OR L16)
L19          18 S L15 AND L16
L20          58 S (L14-L16 OR L19) AND ?LACTONE?
L21           2 S L20 AND ?TRIPTOLID?
L22           8 S L17 OR L18 OR L21
L23           5 DUP REM L22 (3 DUPLICATES REMOVED)
```

L23 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2005:1001864 CAPLUS Full-text
DOCUMENT NUMBER: 143:279364
TITLE: Triptolide lactone ring derivatives as immunomodulators and anticancer agents
INVENTOR(S): Yuan, Rongwei; Musser, John H. ; Dai, Dongcheng
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005084365	A2	20050915	WO 2005-US6952		20050302
WO 2005084365	A3	20051110			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2005218610	A1	20050915	AU 2005-218610		20050302
CA 2557260	A1	20050915	CA 2005-2557260		20050302
EP 1732536	A2	20061220	EP 2005-724487		20050302
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 1925852	A	20070307	CN 2005-80006875		20050302
JP 2007526331	T	20070913	JP 2007-501990		20050302
US 20080287530	A1	20081120	US 2008-591358		20080812
PRIORITY APPLN. INFO.:			US 2004-549769P	P	20040302
			WO 2005-US6952	W	20050302

OTHER SOURCE(S): MARPAT 143:279364
AB Disclosed are compds. based on lactone ring modifications of triptolide and hydroxylated triptolide, for use in therapy, such as antiproliferative, anticancer, and immunosuppressive therapy.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2005:611979 CAPLUS Full-text
DOCUMENT NUMBER: 143:109774
TITLE: Triptolide 5,6-derivatives as immunomodulators and anticancer agents
INVENTOR(S): Dai, Dongcheng; Musser, John H. ; Yuan, Rongwei
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA

SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005062913	A2	20050714	WO 2004-US43249	20041220
WO 2005062913	A3	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20070249048	A1	20071025	US 2007-584114	20070521
PRIORITY APPLN. INFO.:			US 2003-532702P P	20031224
			WO 2004-US43249 W	20041220

OTHER SOURCE(S): MARPAT 143:109774

AB Compds. useful as immunosuppressive, anti-inflammatory and anticancer agents and methods of their preparation and use are described. The compds. are analogs or derivs. of triptolide and related compds., modified at the 5- and/or 6-position relative to the naturally occurring compds. 5-α-Hydroxytriptolide (PG701), prepared from triptolide, induced apoptosis and inhibited IL-2 production in Jurkat cells.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 5 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:237372 BIOSIS Full-text
DOCUMENT NUMBER: PREV200300237372
TITLE: Triptolide prodrugs having high aqueous solubility.
AUTHOR(S): Dai, Dongcheng [Inventor, Reprint Author]; Yuan, Hongwei [Inventor]; Musser, John H. [Inventor]
CORPORATE SOURCE: Mountain View, CA, USA
ASSIGNEE: Pharmagenesis, Inc.
PATENT INFORMATION: US 6548537 20030415
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Apr 15 2003) Vol. 1269, No. 3. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 14 May 2003
Last Updated on STN: 14 May 2003

AB Compounds useful in immunosuppressive, anti-inflammatory and anticancer treatment are described. The compounds are triptolide analogs with improved water solubility and generally lower toxicity than the parent compound.

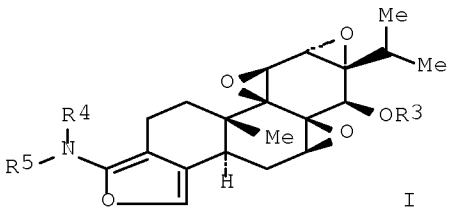
L23 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2002:695942 CAPLUS Full-text
DOCUMENT NUMBER: 137:232787
TITLE: Preparation of triptolide prodrugs having high aqueous solubility
INVENTOR(S): Dai, Dongcheng; Yuan, Hongwei; Musser, John H.
PATENT ASSIGNEE(S): Pharmagenesis, Inc., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070472	A2	20020912	WO 2002-US6081	20020301
WO 2002070472	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6548537	B1	20030415	US 2001-798319	20010302
CA 2448775	A1	20020912	CA 2002-2448775	20020301
AU 2002258426	A1	20020919	AU 2002-258426	20020301
EP 1408957	A2	20040421	EP 2002-728370	20020301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

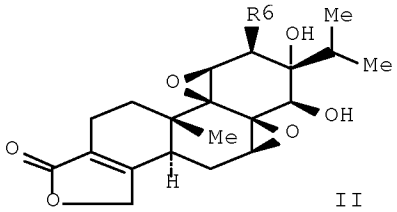
PRIORITY APPLN. INFO.:

US 2001-798319	A1	20010302
US 1998-98809P	P	19980902
WO 1999-US20150	A2	19990902
WO 2002-US6081	W	20020301

OTHER SOURCE(S): MARPAT 137:232787
GI



I



II

AB Triptolide prodrugs, such as I [R3 = H, acyl; R4, R5 = alkyl; NR4R5 = nitrogen bound heterocyclyl, such as 4-morpholinyl] and II [R6 = OCOCF3, OCOCCl3, OC(:NH)CCl3, arylsulfonyloxy, heteroarylsufonyloxy, etc.], were prepared for therapeutic use as immunosuppressive, anti-inflammatory and anticancer agents. These triptolide analogs have improved water solubility, generally lower toxicity and improved pharmacokinetics compared to the parent compound Thus, PG 700 II (R = OSO2C6H4-4-Me) was prepared by reaction of ClSO2C6H4-4-Me with the corresponding triol, PG 673 II (R = OH), using DMAP in pyridine. Pharmaceutical formulations and dosages of the prepared triptolide derivs. were presented.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 5 OF 5 WPIX COPYRIGHT 2009 THOMSON REUTERS on STN

ACCESSION NUMBER: 2000-246707 [21] WPIX

CROSS REFERENCE: 2002-698722

DOC. NO. CPI: C2000-074738 [21]

TITLE: New derivatives of triptolide having hydrophilic substituents, useful as prodrugs for immunosuppressive and anti-inflammatory applications

DERWENT CLASS: B02

INVENTOR: DAI D; MUSSER J H; YUAN H

PATENT ASSIGNEE: (PHAR-N) PHARMAGENESIS INC

COUNTRY COUNT: 87

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2000012483	A1	20000309	(200021)*	EN	26[6]	
AU 9962425	A	20000321	(200031)	EN		
US 6150539	A	20001121	(200101)	EN		
EP 1109789	A1	20010627	(200137)	EN		
CN 1316997	A	20011010	(200207)	ZH		
JP 2002523495	W	20020730	(200264)	JA	34	
US 6548537	B1	20030415	(200329)	EN		
EP 1109789	B1	20030716	(200354)	EN		
AU 764123	B	20030807	(200362)	EN		
DE 69909633	E	20030821	(200362)	DE		
EP 1375488	A1	20040102	(200409)	EN		
EP 1375488	B1	20060802	(200651)	EN		
DE 69932649	E	20060914	(200661)	DE		
DE 69932649	T2	20070809	(200754)	DE		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000012483 A1		WO 1999-US20150	19990902
US 6150539 A	Provisional	US 1998-98809P	19980902
US 6548537 B1	Provisional	US 1998-98809P	19980902
AU 9962425 A		AU 1999-62425	19990902
AU 764123 B		AU 1999-62425	19990902
CN 1316997 A		CN 1999-810578	19990902
DE 69909633 E		DE 1999-609633	19990902
DE 69932649 E		DE 1999-632649	19990902
EP 1109789 A1		EP 1999-949582	19990902
EP 1109789 B1		EP 1999-949582	19990902
DE 69909633 E		EP 1999-949582	19990902
EP 1375488 A1	Div Ex	EP 1999-949582	19990902
EP 1375488 B1	Div Ex	EP 1999-949582	19990902
US 6150539 A		US 1999-389769	19990902
EP 1109789 A1		WO 1999-US20150	19990902
JP 2002523495 W		WO 1999-US20150	19990902
US 6548537 B1	CIP of	WO 1999-US20150	19990902
EP 1109789 B1		WO 1999-US20150	19990902
DE 69909633 E		WO 1999-US20150	19990902
JP 2002523495 W		JP 2000-567513	19990902
US 6548537 B1		US 2001-798319	20010302
EP 1375488 A1		EP 2003-16090	19990902
EP 1375488 B1		EP 2003-16090	19990902
DE 69932649 E		EP 2003-16090	19990902
DE 69932649 T2		DE 1999-632649	19990902
DE 69932649 T2		EP 2003-16090	19990902

FILING DETAILS:

PATENT NO	KIND		PATENT NO	
AU 764123	B	Previous Publ	AU 9962425	A
DE 69909633	E	Based on	EP 1109789	A
EP 1375488	A1	Div ex	EP 1109789	A
EP 1375488	B1	Div ex	EP 1109789	A
DE 69932649	E	Based on	EP 1375488	A
AU 9962425	A	Based on	WO 2000012483	A
EP 1109789	A1	Based on	WO 2000012483	A
JP 2002523495	W	Based on	WO 2000012483	A
EP 1109789	B1	Based on	WO 2000012483	A
AU 764123	B	Based on	WO 2000012483	A
DE 69909633	E	Based on	WO 2000012483	A
DE 69932649	T2	Based on	EP 1375488	A

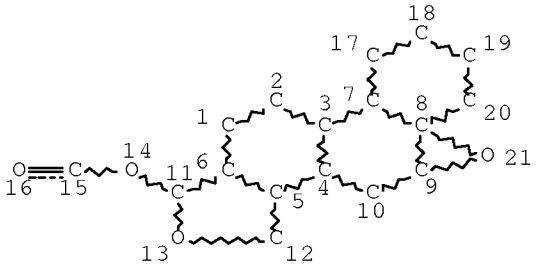
PRIORITY APPLN. INFO: US 1998-98809P 19980902
WO 1999-US20150 19990902
US 1999-389769 19990902
US 2001-798319 20010302

AN 2000-246707 [21] WPIX
CR 2002-698722
AB WO 2000012483 A1 UPAB: 20060116
NOVELTY - Derivatives of triptolide having hydrophilic substituents (I)-(III) are new.
DETAILED DESCRIPTION - Derivatives of triptolide having hydrophilic substituents of formula (I)-(III) are new. R1 = a carboxylic ester, carbonate or inorganic ester having a central atom selected from carbon, sulfur, phosphorus, nitrogen and boron, and having linked to the central atom at least

one group of the form YZ or OYZ; or a mono-, di- or trisaccharide linked to C14 at an anomeric center;
Y = 1-6C alkyl or alkenyl;
Z = H, keto, aldehyde, carboxylate, carboxylic ester, hydroxy, alkoxy, polyether, thiol, alkylthio, amino, cyano, nitro, sulfate, nitrate, phosphate or a 5 to 7 membered heterocycle having ring atoms selected from carbon, nitrogen, oxygen and sulfur, and 3-6C ring atoms;
R3 = H or (C=O)R;
R = lower alkyl;
R5 = YZ' or (C=O)YZ', a mono, di- or trisaccharide linked to C14 at an anomeric center;
Z' = H, keto, aldehyde, carboxylate, carboxylic ester, amino, alkylamino, hydroxy, alkoxy, polyether, thiol, alkylthio, cyano, nitro, inorganic ester or a 5 to 7 membered heterocyclic ring whose ring atoms are selected from carbon, nitrogen, oxygen and sulfur, and where the ring atoms include 3-6C atoms. R6 = a leaving group consisting of alkyl sulfonate, fluoroalkyl sulfonate, aryl sulfonate, fluorosulfonate, nitrate, alkyl phosphate, alkyl borate, trialkylammonium and dialkylsulfonium. ACTIVITY - Immunosuppressive; antiinflammatory; antiasthma; antiarteriosclerotic; antidiabetic; dermatological; antiallergic; antirheumatic; antiarthritic; neuroprotective; antifertility.
MECHANISM OF ACTION - None given.
USE - As prodrugs for immunosuppressive and anti-inflammatory applications which are hydrolyzed in vivo to the parent compound. They may be used for preventing transplant rejection and for treating and preventing graft-versus-host disease; asthma, atherosclerosis, Type I diabetes, multiple sclerosis, psoriasis, systemic lupus erythematosis, rheumatoid arthritis and various allergies. Also for traumatic inflammation and in reducing male fertility
ADVANTAGE - The compounds have greater water solubility than the non-derivatized parent compound, triptolide. The compounds also have low toxicity.

FILE 'HOME' ENTERED AT 10:49:49 ON 01 SEP 2009

```
=> d que l2; d que l11; d his ful
L1              STR
```

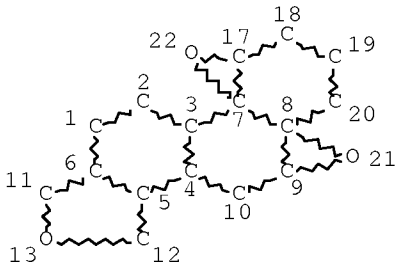


```
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  21

STEREO ATTRIBUTES: NONE
L2            6 SEA FILE=REGISTRY SSS FUL L1


L5              STR
```



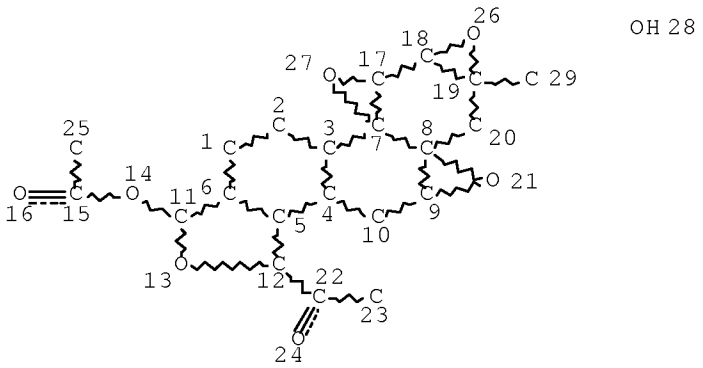
```
NODE ATTRIBUTES:
CONNECT IS M3  RC AT  11
CONNECT IS M3  RC AT  12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  19

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
MLEVEL IS CLASS ON RING NODES AND RING GROUPS
MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS
ECLEVEL IS UNLIM ON ALL NODES

L8        85898 SEA FILE=MARPAT SSS FUL L5 (MODIFIED ATTRIBUTES)
L10             STR
```




```
NODE ATTRIBUTES:
NSPEC   IS RC     AT  23
NSPEC   IS RC     AT  25
CONNECT IS X2  RC AT  10
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  29

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS UNLIM ON ALL NODES

L11           1 SEA FILE=MARPAT SUB=L8 SSS FUL L10 (MODIFIED ATTRIBUTES)

     (FILE 'REGISTRY' ENTERED AT 10:22:29 ON 01 SEP 2009)
                ACT R591/A
               ---------
L1               STR
L2             6 SEA SSS FUL L1
               ---------
                 D QUE STAT

     FILE 'CAPLUS' ENTERED AT 10:27:12 ON 01 SEP 2009
L3             7 SEA ABB=ON  PLU=ON  L2
                 D L3 1-7 IBIB ABS HITSTR

     FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 10:27:27 ON 01 SEP 2009
L4             0 SEA ABB=ON  PLU=ON  L2

     FILE 'MARPAT' ENTERED AT 10:27:45 ON 01 SEP 2009
L5               STR L1
L6             0 SEA SSS SAM L5 (MODIFIED ATTRIBUTES)
L7             0 SEA SSS FUL L5 (MODIFIED ATTRIBUTES)
L8         85898 SEA SSS FUL L5 (MODIFIED ATTRIBUTES)
L9         16257 SEA SUB=L8 SSS FUL L1 (MODIFIED ATTRIBUTES)
L10              STR L1
L11            1 SEA SUB=L8 SSS FUL L10 (MODIFIED ATTRIBUTES)
                 D QUE STAT

     FILE 'CAPLUS' ENTERED AT 10:46:40 ON 01 SEP 2009
```

```
L12           1 SEA ABB=ON  PLU=ON  L11
L13           0 SEA ABB=ON  PLU=ON  L12 NOT L3

     FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE, WPIX, JAPIO, PASCAL, DISSABS'
     ENTERED AT 10:47:08 ON 01 SEP 2009
L14       10813 SEA ABB=ON  PLU=ON  ("YUAN H"? OR "HONGWEI Y"?)/AU
L15        2291 SEA ABB=ON  PLU=ON  "MUSSER J"?/AU
L16        3165 SEA ABB=ON  PLU=ON  ("DAI D"? OR "DONGCHENG D"?)/AU
L17           8 SEA ABB=ON  PLU=ON  L14 AND L15 AND L16
L18           8 SEA ABB=ON  PLU=ON  L14 AND (L15 OR L16)
L19          18 SEA ABB=ON  PLU=ON  L15 AND L16
L20          58 SEA ABB=ON  PLU=ON  ((L14 OR L15 OR L16) OR L19) AND ?LACTONE?
L21           2 SEA ABB=ON  PLU=ON  L20 AND ?TRIPTOLID?
L22           8 SEA ABB=ON  PLU=ON  L17 OR L18 OR L21
L23           5 DUP REM L22 (3 DUPLICATES REMOVED)
                D 1-5 IBIB ABS

     FILE 'HOME' ENTERED AT 10:49:49 ON 01 SEP 2009
                 D QUE L2
                 D QUE L11


     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   31 AUG 2009  HIGHEST RN 1178609-15-8
     DICTIONARY FILE UPDATES:  31 AUG 2009  HIGHEST RN 1178609-15-8

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:

     http://www.cas.org/support/stngen/stndoc/properties.html

     FILE CAPLUS

     Copyright of the articles to which records in this database refer is
     held by the publishers listed in the PUBLISHER (PB) field (available
     for records published or updated in Chemical Abstracts after December
     26, 1996), unless otherwise indicated in the original publications.
     The CA Lexicon is the copyrighted intellectual property of the
     American Chemical Society and is provided to assist you in searching
     databases on STN.  Any dissemination, distribution, copying, or storin
     of this information, without the prior written consent of CAS, is
     strictly prohibited.

     FILE COVERS 1907 - 1 Sep 2009  VOL 151 ISS 10
     FILE LAST UPDATED: 31 Aug 2009  (20090831/ED)
     REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Jun 2009
     USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Jun 2009
```

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

FILE MEDLINE
FILE LAST UPDATED: 29 Aug 2009 (20090829/UP). FILE COVERS 1949 TO DA

MEDLINE and LMEDLINE have been updated with the 2009 Medical Subject Headings (MeSH) vocabulary and tree numbers from the U.S. National L of Medicine (NLM). Additional information is available at

http://www.nlm.nih.gov/pubs/techbull/nd08/nd08_medline_data_changes_2

On February 21, 2009, MEDLINE was reloaded. See HELP RLOAD for detai

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

FILE BIOSIS
FILE COVERS 1926 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 26 August 2009 (20090826/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

FILE EMBASE
FILE COVERS 1974 TO 31 Aug 2009 (20090831/ED)

EMBASE was reloaded on March 30, 2008.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Beginning January 2008, Elsevier will no longer provide EMTREE codes as part of the EMTREE thesaurus in EMBASE. Please update your current-awareness alerts (SDIs) if they contain EMTREE codes.

For further assistance, please contact your local helpdesk.

FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 151 ISS 9 (20090828/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090187037 23 JUL 2009
DE 102008054480 16 JUL 2009
EP 2080513 22 JUL 2009
JP 2009155247 16 JUL 2009
WO 2009090661 23 JUL 2009
GB 2453808 22 APR 2009
FR 2926078 10 JUL 2009
RU 2360905 10 JUL 2009
CA 2648836 04 JUL 2009

The new MARPAT User Guide is now available at:
http://www.cas.org/support/stngen/stndoc/marpat.html.

FILE WPIX
FILE LAST UPDATED: 26 AUG 2009 <20090826/UP>
MOST RECENT UPDATE: 200955 <200955/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.4 million chemical structures in DCR <<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms
and FI-Terms have been updated with reclassifications to
mid-June 2009.
No update date (UP) has been created for the reclassified
documents, but they can be identified by
specific update codes (see HELP CLA for details)<<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
PLEASE VISIT:
http://www.stn-international.com/stn_guide.html

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
http://scientific.thomsonreuters.com/support/patents/coverage/latestup

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0:
http://www.stn-international.com/DWPIAnaVist2_0608.html

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <

Manual Code Revision
Thomson Reuters is asking for customer input for the 2010 manual code
revision of the Electrical Patents Index (EPI) and Chemical Patents
Index (CPI) Manual Codes. Read more at
http://go.thomsonreuters.com/dwpi_code-revision

FILE JAPIO
FILE LAST UPDATED: 28 AUG 2009 <20090828/UP>
MOST RECENT PUBLICATION DATE: 28 MAY 2009 <20090528/PD>
>>> GRAPHIC IMAGES AVAILABLE <<<

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION (SLART) IS AVAILABLE

IN THE BASIC INDEX (/BI) FIELD <<<

FILE PASCAL
FILE LAST UPDATED: 31 AUG 2009 <20090831/UP>
FILE COVERS 1977 TO DATE.

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE
IN THE BASIC INDEX (/BI) FIELD <<<

FILE DISSABS
FILE COVERS 1861 TO 30 JUL 2009 (20090730/ED)

FILE HOME